We claim:

## 1. A compound of formula IV:

$$R^{2}$$
 $NH$ 
 $R^{x}$ 
 $Z^{2}$ 
 $Q-R^{1}$ 
 $IV$ 

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

- $Z^1$  is nitrogen or C-R<sup>8</sup> and  $Z^2$  is nitrogen or CH, wherein one of  $Z^1$  or  $Z^2$  is nitrogen;
- Q is selected from  $-N(R^4)$ -, -0-, -S-,  $-C(R^{6'})_2$ -, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl;
- R<sup>x</sup> and R<sup>y</sup> are independently selected from T-R<sup>3</sup> or L-Z-R<sup>3</sup>, or R<sup>x</sup> and R<sup>y</sup> are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen, wherein each substitutable ring carbon of said fused ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substituted by oxo, T-R<sup>3</sup>, or L-Z-R<sup>3</sup>, and each substitutable ring nitrogen of said ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substituted by R<sup>4</sup>;

R<sup>1</sup> is T-(Ring D);

Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl,

heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms selected from nitrogen, oxygen or sulfur, wherein each substitutable ring carbon of Ring D is independently substituted by oxo,  $T-R^5$ , or  $V-Z-R^5$ , and each substitutable ring nitrogen of Ring D is independently substituted by  $-R^4$ ;

- T is a valence bond or a  $C_{1-4}$  alkylidene chain, wherein when Q is  $-CH(R^6)$ -, a methylene unit of said  $C_{1-4}$  alkylidene chain is optionally replaced by -O-, -S-,  $-N(R^4)$ -, -CO-, -CONH-, -NHCO-,  $-SO_2$ -,  $-SO_2NH$ -,  $-NHSO_2$ -,  $-CO_2$ -, -OC(O)-, -OC(O)NH-, or  $-NHCO_2$ -;
- Z is a  $C_{1-4}$  alkylidene chain;
- L is -O-, -S-, -SO-,  $-SO_2-$ ,  $-N(R^6)SO_2-$ ,  $-SO_2N(R^6)-$ ,  $-N(R^6)-$ , -CO-,  $-CO_2-$ ,  $-N(R^6)CO-$ ,  $-N(R^6)C(O)O-$ ,  $-N(R^6)CON(R^6)-$ ,  $-N(R^6)SO_2N(R^6)-$ ,  $-N(R^6)N(R^6)-$ ,  $-C(O)N(R^6)-$ ,  $-C(O)N(R^6)-$ ,  $-C(R^6)_2O-$ ,  $-C(R^6)_2S-$ ,  $-C(R^6)_2SO-$ ,  $-C(R^6)_2SO_2-$ ,  $-C(R^6)_2SO_2N(R^6)-$ ,  $-C(R^6)_2N(R^6)-$ ,  $-C(R^6)_2N(R^6)C(O)-$ ,  $-C(R^6)_2N(R^6)C(O)-$ ,  $-C(R^6)_2N(R^6)-$ ,  $-C(R^6)_2N(R^6)C(O)-$ ,  $-C(R^6)_2N(R^6)-$ , or  $-C(R^6)_2N(R^6)CON(R^6)-$ ;
- R<sup>2</sup> and R<sup>2</sup> are independently selected from -R, -T-W-R<sup>6</sup>, or R<sup>2</sup> and R<sup>2</sup> are taken together with their intervening atoms to form a fused, 5-8 membered, unsaturated or partially unsaturated, ring having 0-3 ring heteroatoms selected from nitrogen, oxygen, or sulfur, wherein each substitutable ring carbon of said fused ring formed by R<sup>2</sup> and R<sup>2</sup> is independently substituted by halo, oxo, -CN, -NO<sub>2</sub>, -R<sup>7</sup>, or -V-R<sup>6</sup>, and each substitutable ring nitrogen of said ring formed by R<sup>2</sup> and R<sup>2</sup> is independently substituted by R<sup>4</sup>;
- $R^3$  is selected from -R, -halo, -OR, -C(=0)R, -CO<sub>2</sub>R, -COCOR, -COCH<sub>2</sub>COR, -NO<sub>2</sub>, -CN, -S(0)R, -S(0)<sub>2</sub>R, -SR,

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 \begin{array}{l} - N\left(R^4\right)_2, & - CON\left(R^7\right)_2, & - SO_2N\left(R^7\right)_2, & - OC\left(=O\right)R, & - N\left(R^7\right)COR, \\ - N\left(R^7\right)CO_2\left(C_{1-6} \text{ aliphatic}\right), & - N\left(R^4\right)N\left(R^4\right)_2, & - C = NN\left(R^4\right)_2, \\ - C = N - OR, & - N\left(R^7\right)CON\left(R^7\right)_2, & - N\left(R^7\right)SO_2N\left(R^7\right)_2, & - N\left(R^4\right)SO_2R, & or - OC\left(=O\right)N\left(R^7\right)_2; \end{array}
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- each R is independently selected from hydrogen or an optionally substituted group selected from  $C_{1-6}$  aliphatic,  $C_{6-10}$  aryl, a heteroaryl ring having 5-10 ring atoms, or a heterocyclyl ring having 5-10 ring atoms:
- each  $R^4$  is independently selected from  $-R^7$ ,  $-COR^7$ ,  $-CO_2$  (optionally substituted  $C_{1-6}$  aliphatic),  $-CON(R^7)_2$ , or  $-SO_2R^7$ ;
- each  $R^5$  is independently selected from -R, halo, -OR, -C(=O)R,  $-CO_2R$ , -COCOR,  $-NO_2$ , -CN, -S(O)R,  $-SO_2R$ , -SR,  $-N(R^4)_2$ ,  $-CON(R^4)_2$ ,  $-SO_2N(R^4)_2$ , -OC(=O)R,  $-N(R^4)COR$ ,  $-N(R^4)CO_2$  (optionally substituted  $C_{1-6}$  aliphatic),  $-N(R^4)N(R^4)_2$ ,  $-C=NN(R^4)_2$ , -C=N-OR,  $-N(R^4)CON(R^4)_2$ ,  $-N(R^4)SO_2N(R^4)_2$ , or  $-OC(=O)N(R^4)_2$ ;
- V is -O-, -S-, -SO-, -SO<sub>2</sub>-, -N(R<sup>6</sup>)SO<sub>2</sub>-, -SO<sub>2</sub>N(R<sup>6</sup>)-, -N(R<sup>6</sup>)-, -CO-, -CO<sub>2</sub>-, -N(R<sup>6</sup>)CO-, -N(R<sup>6</sup>)C(O)O-,
  - $-N(R^6)CON(R^6)$  -,  $-N(R^6)SO_2N(R^6)$  -,  $-N(R^6)N(R^6)$  -,
  - $-C(O)N(R^{6})$  -,  $-OC(O)N(R^{6})$  -,  $-C(R^{6})_{2}O$  -,  $-C(R^{6})_{2}S$  -,
  - $-C(R^{6})_{2}SO_{-}$ ,  $-C(R^{6})_{2}SO_{2}_{-}$ ,  $-C(R^{6})_{2}SO_{2}N(R^{6})_{-}$ ,  $-C(R^{6})_{2}N(R^{6})_{-}$ ,
  - $-C(R^{6})_{2}N(R^{6})C(O) , -C(R^{6})_{2}N(R^{6})C(O)O , -C(R^{6}) = NN(R^{6}) ,$
  - $-C(\mathbf{R}^{6}) = \mathbf{N} \mathbf{O} \mathbf{,} C(\mathbf{R}^{6})_{2}\mathbf{N}(\mathbf{R}^{6})\mathbf{N}(\mathbf{R}^{6}) \mathbf{,} C(\mathbf{R}^{6})_{2}\mathbf{N}(\mathbf{R}^{6})\mathbf{SO}_{2}\mathbf{N}(\mathbf{R}^{6}) \mathbf{,} \text{ or } \mathbf{C}(\mathbf{R}^{6})_{2}\mathbf{N}(\mathbf{R}^{6}) + \mathbf{N}(\mathbf{R}^{6})_{2}\mathbf{N}(\mathbf{R}^{6}) \mathbf{N}(\mathbf{R}^{6})_{2}\mathbf{N}(\mathbf{R}^{6}) \mathbf{N}(\mathbf{R}^{6})_{2}\mathbf{N}(\mathbf{R}^{6})_{2}\mathbf{N}(\mathbf{R}^{6}) \mathbf{N}(\mathbf{R}^{6})_{2}\mathbf$
  - $-C(R^6)_2N(R^6)CON(R^6)$  -;
- W is  $-C(R^6)_2O_-$ ,  $-C(R^6)_2S_-$ ,  $-C(R^6)_2S_0$ ,  $-C(R^6)_2S_0$ ,
  - $-C(R^6)_2SO_2N(R^6)$  -,  $-C(R^6)_2N(R^6)$  -,  $-CO_2$  -,
  - $-C(R^{6})OC(O) -$ ,  $-C(R^{6})OC(O)N(R^{6}) -$ ,  $-C(R^{6})_{2}N(R^{6})CO -$ ,
  - $-C(R^{6})_{2}N(R^{6})C(O)O-, -C(R^{6})=NN(R^{6})-, -C(R^{6})=N-O-,$
  - $-C(R^{6})_{2}N(R^{6})N(R^{6})$  -,  $-C(R^{6})_{2}N(R^{6})SO_{2}N(R^{6})$  -,
  - $-C(R^6)_2N(R^6)CON(R^6)$  -, or  $-CON(R^6)$  -;

- each  $R^6$  is independently selected from hydrogen or an optionally substituted  $C_{1-4}$  aliphatic group, or two  $R^6$  groups on the same nitrogen atom are taken together with the nitrogen atom to form a 5-6 membered heterocyclyl or heteroaryl ring;
- each  $R^{6'}$  is independently selected from hydrogen or a  $C_{1-4}$  aliphatic group, or two  $R^{6'}$  on the same carbon atom are taken together to form a 3-6 membered carbocyclic ring;
- each  $R^7$  is independently selected from hydrogen or an optionally substituted  $C_{1-6}$  aliphatic group, or two  $R^7$  on the same nitrogen are taken together with the nitrogen to form a 5-8 membered heterocyclyl or heteroaryl ring; and
- 2. The compound according to claim 1, wherein Q is selected from -S-, -O-, or -NH-; and said compound has one or more features selected from the group consisting of:
  - (a)  $R^x$  is hydrogen, alkyl- or dialkylamino, acetamido, or a  $C_{1-4}$  aliphatic group and  $R^y$  is  $T-R^3$  or  $L-Z-R^3$ , wherein T is a valence bond or a methylene and  $R^3$  is -R,  $-N(R^4)_2$ , or -OR; or  $R^x$  and  $R^y$  are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-6 membered ring having 0-2 heteroatoms selected from oxygen, sulfur, or nitrogen, wherein each substitutable ring carbon

of said fused ring formed by  $R^x$  and  $R^y$  is independently substituted by oxo,  $T-R^3$ , or  $L-Z-R^3$ , and each substitutable ring nitrogen of said ring formed by  $R^x$  and  $R^y$  is independently substituted by  $R^4$ ;

- (b) R¹ is T-(Ring D), wherein T is a valence bond or a methylene unit;
- (c) Ring D is a 5-7 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring; and
- (d)  $R^2$  is -R or  $-T-W-R^6$  and  $R^{2'}$  is hydrogen, or  $R^2$  and  $R^{2'}$  are taken together to form an optionally substituted benzo ring.
- 3. The compound according to claim 2, wherein:
- (a) R<sup>x</sup> is hydrogen, alkyl- or dialkylamino, acetamido, or a C<sub>1-4</sub> aliphatic group and R<sup>y</sup> is T-R<sup>3</sup> or L-Z-R<sup>3</sup>, wherein T is a valence bond or a methylene and R<sup>3</sup> is -R, -N(R<sup>4</sup>)<sub>2</sub>, or -OR; or R<sup>x</sup> and R<sup>y</sup> are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-6 membered ring having 0-2 heteroatoms selected from oxygen, sulfur, or nitrogen, wherein each substitutable ring carbon of said fused ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substitutable ring nitrogen of said ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substitutable ring nitrogen of said ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substituted by R<sup>x</sup> and R<sup>y</sup> is independently substituted by R<sup>x</sup> and R<sup>y</sup> is independently substituted by R<sup>x</sup>;
- (b)  $R^1$  is T-(Ring D), wherein T is a valence bond or a methylene unit;
- (c) Ring D is a 5-7 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring; and

- (d)  $R^2$  is -R or  $-T-W-R^6$  and  $R^{2'}$  is hydrogen, or  $R^2$  and  $R^{2'}$  are taken together to form an optionally substituted benzo ring.
- 4. The compound according to claim 2, wherein said compound has one or more features selected from the group consisting of:
  - (a) R<sup>y</sup> is T-R<sup>3</sup> or L-Z-R<sup>3</sup> wherein T is a valence bond or a methylene and R<sup>3</sup> is selected from -R, -OR, or -N(R<sup>4</sup>)<sub>2</sub>, wherein R is selected from hydrogen, C<sub>1-6</sub> aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl; or R<sup>x</sup> and R<sup>y</sup> are taken together with their intervening atoms to form a benzo, pyrido, cyclopento, cyclohexo, cyclohepto, thieno, piperidino, or imidazo ring, wherein each substitutable ring carbon of said fused ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substitutable ring nitrogen of said ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substituted by R<sup>4</sup>;
  - (b) R<sup>1</sup> is T-(Ring D), wherein T is a valence bond, and Ring D is a 5-6 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring;
  - (c)  $R^2$  is -R and  $R^2$  is hydrogen, wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring; and
  - (d)  $R^3$  is selected from -R, -halo, -OR, or -N( $R^4$ )<sub>2</sub>, wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or -N( $R^4$ )-.

- 5. The compound according to claim 4, wherein:
- (a) R<sup>y</sup> is T-R<sup>3</sup> or L-Z-R<sup>3</sup> wherein T is a valence bond or a methylene and R<sup>3</sup> is selected from -R, -OR, or -N(R<sup>4</sup>)<sub>2</sub>, wherein R is selected from hydrogen, C<sub>1-6</sub> aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl; or R<sup>x</sup> and R<sup>y</sup> are taken together with their intervening atoms to form a benzo, pyrido, cyclopento, cyclohexo, cyclohepto, thieno, piperidino, or imidazo ring, wherein each substitutable ring carbon of said fused ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substitutable ring nitrogen of said ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substituted by R<sup>4</sup>;
- (b) R<sup>1</sup> is T-(Ring D), wherein T is a valence bond, and Ring D is a 5-6 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring;
- (c)  $R^2$  is -R and  $R^2$  is hydrogen, wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring; and
- (d)  $R^3$  is selected from -R, -halo, -OR, or  $-N(R^4)_2$ , wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or  $-N(R^4)-$ .
- 6. The compound according to claim 4, wherein said compound has one or more features selected from the group consisting of:
  - (a)  $R^x$  is hydrogen methyl, ethyl, propyl, cyclopropyl, isopropyl, methylamino or acetamido and  $R^y$  is selected from 2-pyridyl, 4-pyridyl,

pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, methyl, ethyl, cyclopropyl, isopropyl, t-butyl, alkoxyalkylamino, alkyl- or dialkylamino, alkyl- or dialkylaminoalkoxy, acetamido, optionally substituted phenyl, or methoxymethyl; or R<sup>x</sup> and R<sup>y</sup> are taken together with their intervening atoms to form a benzo, pyrido, piperidino, or cyclohexo ring, wherein said ring is optionally substituted with -halo, -R, -OR, -COR, -CO<sub>2</sub>R, -CON(R<sup>4</sup>)<sub>2</sub>, -CN, -O(CH<sub>2</sub>)<sub>2-4</sub>-N(R<sup>4</sup>)<sub>2</sub>, -O(CH<sub>2</sub>)<sub>2-4</sub>-R, -NO<sub>2</sub> -N(R<sup>4</sup>)<sub>2</sub>, -NR<sup>4</sup>COR, -NR<sup>4</sup>SO<sub>2</sub>R, or -SO<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, wherein R is hydrogen or an optionally substituted C<sub>1-6</sub> aliphatic group;

- (b) R<sup>1</sup> is T-(Ring D), wherein T is a valence bond and Ring D is a 5-6 membered aryl or heteroaryl ring optionally substituted with one or two groups selected from -halo, -CN, -NO<sub>2</sub>, -N(R<sup>4</sup>)<sub>2</sub>, optionally substituted C<sub>1-6</sub> aliphatic, -OR, -C(O)R, -CO<sub>2</sub>R, -CONH(R<sup>4</sup>), -N(R<sup>4</sup>)COR, -N(R<sup>4</sup>)CO<sub>2</sub>R, -SO<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, -N(R<sup>4</sup>)SO<sub>2</sub>R, -N(R<sup>6</sup>)COCH<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, -N(R<sup>6</sup>)COCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>;
- (c)  $R^2$  is hydrogen or a substituted or unsubstituted group selected from aryl, heteroaryl, or a  $C_{1-6}$  aliphatic group, and  $R^{2'}$  is hydrogen; and
- (d)  $R^3$  is selected from -R, -OR, or -N( $R^4$ )<sub>2</sub>, wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or -NH-; and
- (e) Ring D is substituted by up to three substituents selected from -halo, -CN, -NO<sub>2</sub>, -N( $\mathbb{R}^4$ )<sub>2</sub>, optionally substituted C<sub>1-6</sub> aliphatic group, -OR, -C(O)R, -CO<sub>2</sub>R, -CONH( $\mathbb{R}^4$ ), -N( $\mathbb{R}^4$ )COR,

- $-N(R^4)CO_2R$ ,  $-SO_2N(R^4)_2$ ,  $-N(R^4)SO_2R$ ,  $-N(R^6)COCH_2N(R^4)_2$ ,  $-N(R^6)COCH_2CH_2N(R^4)_2$ , or  $-N(R^6)COCH_2CH_2CH_2N(R^4)_2$ , wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring.
- 7. The compound according to claim 1, wherein Q is  $-C(R^{6'})_2$ -, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl; and said compound has one or more features selected from the group consisting of:
  - (a) R<sup>x</sup> is hydrogen, alkyl- or dialkylamino, acetamido, or a C<sub>1-4</sub> aliphatic group and R<sup>y</sup> is T-R<sup>3</sup> or L-Z-R<sup>3</sup>, wherein T is a valence bond or a methylene and R<sup>3</sup> is -R, -N(R<sup>4</sup>)<sub>2</sub>, or -OR; or R<sup>x</sup> and R<sup>y</sup> are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-6 membered ring having 0-2 heteroatoms selected from oxygen, sulfur, or nitrogen, wherein each substitutable ring carbon of said fused ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substitutable ring nitrogen of said ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substitutable ring nitrogen of said ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substituted by R<sup>x</sup> is independently substituted by R<sup>x</sup> and R<sup>y</sup> is independently substituted by R<sup>x</sup>;
  - (b) R¹ is T-(Ring D), wherein T is a valence bond or a methylene unit and wherein said methylene unit is optionally replaced by -O-, -NH-, or -S-;
  - (c) Ring D is a 5-7 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring; and
  - (d)  $R^2$  is -R or  $-T-W-R^6$  and  $R^{2'}$  is hydrogen, or  $R^2$  and  $R^{2'}$  are taken together to form an optionally substituted benzo ring.

- 8. The compound according to claim 7, wherein:
- (a) R<sup>x</sup> is hydrogen, alkyl- or dialkylamino, acetamido, or a C<sub>1-4</sub> aliphatic group and R<sup>y</sup> is T-R<sup>3</sup> or L-Z-R<sup>3</sup>, wherein T is a valence bond or a methylene and R<sup>3</sup> is -R, -N(R<sup>4</sup>)<sub>2</sub>, or -OR; or R<sup>x</sup> and R<sup>y</sup> are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-6 membered ring having 0-2 heteroatoms selected from oxygen, sulfur, or nitrogen, wherein each substitutable ring carbon of said fused ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substituted by oxo, T-R<sup>3</sup>, or L-Z-R<sup>3</sup>, and each substitutable ring nitrogen of said ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substituted by R<sup>x</sup> is independently substituted by R<sup>x</sup> and R<sup>y</sup> is independently substituted by R<sup>x</sup> and R<sup>y</sup> is independently substituted by R<sup>x</sup> and R<sup>y</sup> is independently
- (b) R¹ is T-(Ring D), wherein T is a valence bond or a methylene unit and wherein said methylene unit is optionally replaced by -O-, -NH-, or -S-;
- (c) Ring D is a 5-7 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring; and
- (d)  $R^2$  is -R or  $-T-W-R^6$  and  $R^{2'}$  is hydrogen, or  $R^2$  and  $R^{2'}$  are taken together to form an optionally substituted benzo ring.
- 9. The compound according to claim 7, wherein Q is  $-C(\mathbb{R}^{6'})_2$  or 1,2-cyclopropanediyl, and said compound has one or more features selected from the group consisting of:
  - (a)  $R^Y$  is  $T-R^3$  or  $L-Z-R^3$  wherein T is a valence bond or a methylene and  $R^3$  is selected from -R, -OR, or  $-N(R^4)_2$ , wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, or 5-6 membered heterocyclyl,

phenyl, or 5-6 membered heteroaryl; or R<sup>x</sup> and R<sup>y</sup> are taken together with their intervening atoms to form a benzo, pyrido, cyclopento, cyclohexo, cyclohepto, thieno, piperidino, or imidazo ring, wherein each substitutable ring carbon of said fused ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substituted by oxo, T-R<sup>3</sup>, or L-Z-R<sup>3</sup>, and each substitutable ring nitrogen of said ring formed by R<sup>x</sup> and R<sup>y</sup> is independently substituted by R<sup>4</sup>;

- (b) R<sup>1</sup> is T-(Ring D), wherein T is a valence bond, and Ring D is a 5-6 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring;
- (c)  $R^2$  is -R and  $R^2$  is hydrogen, wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring; and
- (d)  $R^3$  is selected from -R, -halo, -OR, or  $-N(R^4)_2$ , wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or  $-N(R^4)$ -.
- 10. The compound according to claim 9, wherein:
- (a) R<sup>y</sup> is T-R<sup>3</sup> or L-Z-R<sup>3</sup> wherein T is a valence bond or a methylene and R<sup>3</sup> is selected from -R, -OR, or -N(R<sup>4</sup>)<sub>2</sub>, wherein R is selected from hydrogen, C<sub>1-6</sub> aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl; or R<sup>x</sup> and R<sup>y</sup> are taken together with their intervening atoms to form a benzo, pyrido, cyclopento, cyclohexo, cyclohepto, thieno, piperidino, or imidazo ring, wherein each substitutable ring carbon of said fused ring formed by R<sup>x</sup> and R<sup>y</sup> is independently

- substituted by oxo,  $T-R^3$ , or  $L-Z-R^3$ , and each substitutable ring nitrogen of said ring formed by  $R^x$  and  $R^y$  is independently substituted by  $R^4$ ;
- (b) R<sup>1</sup> is T-(Ring D), wherein T is a valence bond, and Ring D is a 5-6 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring;
- (c)  $R^2$  is -R and  $R^{2'}$  is hydrogen, wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring; and
- (d)  $R^3$  is selected from -R, -halo, -OR, or  $-N(R^4)_2$ , wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or  $-N(R^4)$ -.
- 11. The compound according to claim 9, wherein Q is  $-\mathrm{CH}_2-$  and said compound has one or more features selected from the group consisting of:
  - (a) R\* is hydrogen methyl, ethyl, propyl, cyclopropyl, isopropyl, methylamino or acetamido and Ry is selected from 2-pyridyl, 4-pyridyl, pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, methyl, ethyl, cyclopropyl, isopropyl, t-butyl, alkoxyalkylamino, alkoxyalkyl, alkyl- or dialkylamino, alkyl- or dialkylaminoalkoxy, acetamido, optionally substituted phenyl, or methoxymethyl; or R\* and Ry are taken together with their intervening atoms to form a benzo, pyrido, piperidino, or cyclohexo ring, wherein said ring is optionally substituted with -halo, -R, -OR, -COR, -CO2R, -CON(R4)2, -CN, -O(CH2)2-4-R, -NO2

- $-N(R^4)_2$ ,  $-NR^4COR$ ,  $-NR^4SO_2R$ , or  $-SO_2N(R^4)_2$ , wherein R is hydrogen or an optionally substituted  $C_{1-6}$  aliphatic group;
- (b) R<sup>1</sup> is T-(Ring D), wherein T is a valence bond and Ring D is a 5-6 membered aryl or heteroaryl ring optionally substituted with one or two groups selected from -halo, -CN, -NO<sub>2</sub>, -N(R<sup>4</sup>)<sub>2</sub>, optionally substituted C<sub>1-6</sub> aliphatic, -OR, -C(O)R, -CO<sub>2</sub>R, -CONH(R<sup>4</sup>), -N(R<sup>4</sup>)COR, -N(R<sup>4</sup>)CO<sub>2</sub>R, -SO<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, -N(R<sup>4</sup>)SO<sub>2</sub>R, -N(R<sup>6</sup>)COCH<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, -N(R<sup>6</sup>)COCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>;
- (c)  $R^2$  is hydrogen or a substituted or unsubstituted group selected from aryl, heteroaryl, or a  $C_{1-6}$  aliphatic group, and  $R^2$  is hydrogen; and
- (d)  $R^3$  is selected from -R, -OR, or -N( $R^4$ )<sub>2</sub>, wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or -NH-; and
- (e) Ring D is substituted by up to three substituents selected from -halo, -CN, -NO<sub>2</sub>, -N(R<sup>4</sup>)<sub>2</sub>, optionally substituted C<sub>1-6</sub> aliphatic group, -OR, -C(O)R, -CO<sub>2</sub>R, -CONH(R<sup>4</sup>), -N(R<sup>4</sup>)COR, -N(R<sup>4</sup>)CO<sub>2</sub>R, -SO<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, -N(R<sup>4</sup>)SO<sub>2</sub>R, -N(R<sup>6</sup>)COCH<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, or -N(R<sup>6</sup>)COCH<sub>2</sub>CH<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, wherein R is selected from hydrogen, C<sub>1-6</sub> aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring.
- 12. The compound according to claim 11, wherein:
- (a)  $R^x$  is hydrogen methyl, ethyl, propyl, cyclopropyl, isopropyl, methylamino or acetamido and  $R^y$  is selected from 2-pyridyl, 4-pyridyl,

pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, methyl, ethyl, cyclopropyl, isopropyl, t-butyl, alkoxyalkylamino, alkyl- or dialkylamino, alkyl- or dialkylaminoalkoxy, acetamido, optionally substituted phenyl, or methoxymethyl; or R\* and R\* are taken together with their intervening atoms to form a benzo, pyrido, piperidino, or cyclohexo ring, wherein said ring is optionally substituted with -halo, -R, -OR, -COR, -CO<sub>2</sub>R, -CON(R<sup>4</sup>)<sub>2</sub>, -CN, -O(CH<sub>2</sub>)<sub>2-4</sub>-N(R<sup>4</sup>)<sub>2</sub>, -O(CH<sub>2</sub>)<sub>2-4</sub>-R, -NO<sub>2</sub> -N(R<sup>4</sup>)<sub>2</sub>, -NR<sup>4</sup>COR, -NR<sup>4</sup>SO<sub>2</sub>R, or -SO<sub>2</sub>N(R<sup>4</sup>)<sub>2</sub>, wherein R is hydrogen or an optionally substituted C<sub>1-6</sub> aliphatic group;

- (b)  $R^1$  is T-(Ring D), wherein T is a valence bond and Ring D is a 5-6 membered aryl or heteroaryl ring optionally substituted with one or two groups selected from -halo, -CN, -NO<sub>2</sub>, -N( $R^4$ )<sub>2</sub>, optionally substituted  $C_{1-6}$  aliphatic, -OR, -C(O)R, -CO<sub>2</sub>R, -CONH( $R^4$ ), -N( $R^4$ )COR, -N( $R^4$ )CO<sub>2</sub>R, -SO<sub>2</sub>N( $R^4$ )<sub>2</sub>, -N( $R^4$ )SO<sub>2</sub>R, -N( $R^6$ )COCH<sub>2</sub>N( $R^4$ )<sub>2</sub>, -N( $R^6$ )COCH<sub>2</sub>CH<sub>2</sub>N( $R^4$ )<sub>2</sub>;
- (c)  $R^2$  is hydrogen or a substituted or unsubstituted group selected from aryl, heteroaryl, or a  $C_{1-6}$  aliphatic group, and  $R^{2'}$  is hydrogen; and
- (d)  $R^3$  is selected from -R, -OR, or  $-N(R^4)_2$ , wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or -NH-;
- (e) Ring D is substituted by up to three substituents selected from -halo, -CN, -NO<sub>2</sub>, -N( $\mathbb{R}^4$ )<sub>2</sub>, optionally substituted C<sub>1-6</sub> aliphatic group, -OR, -C(O)R, -CO<sub>2</sub>R, -CONH( $\mathbb{R}^4$ ), -N( $\mathbb{R}^4$ )COR,

- $-N(R^4)CO_2R$ ,  $-SO_2N(R^4)_2$ ,  $-N(R^4)SO_2R$ ,  $-N(R^6)COCH_2N(R^4)_2$ ,  $-N(R^6)COCH_2CH_2N(R^4)_2$ , or  $-N(R^6)COCH_2CH_2CH_2N(R^4)_2$ , wherein R is selected from hydrogen,  $C_{1-6}$  aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring.
- 13. A composition comprising a compound according to any one of claims 1-12, and a pharmaceutically acceptable carrier.
- 14. The composition according to claim 13, further comprising an additional therapeutic agent.
- 15. A method of inhibiting Aurora-2 or GSK-3 activity in a biological sample comprising the step of contacting said biological sample with a compound according to any one of claims 1-12.
- 16. A method of inhibiting Aurora-2 activity in a patient comprising the step of administering to said patient a composition according to claim 13.
- 17. A method of inhibiting Aurora-2 activity in a patient comprising the step of administering to said patient a composition according to claim 14.
- 18. A method of treating an Aurora-2-mediated disease, which method comprises administering to a patient in need of such a treatment a therapeutically effective amount of a composition according to claim 13.

- 19. The method according to claim 18, wherein said disease is selected from colon, breast, stomach, or ovarian cancer.
- 20. The method according to claim 19, wherein said method further comprises administering an additional therapeutic agent.
- 21. The method according to claim 20, wherein said additional therapeutic agent is a chemotherapeutic agent.
- 22. A method of inhibiting GSK-3 activity in a patient comprising the step of administering to said patient a composition according to claim 13.
- 23. A method of inhibiting GSK-3 activity in a patient comprising the step of administering to said patient a composition according to claim 14.
- 24. A method of method of treating a GSK-3-mediated disease, which method comprises administering to a patient in need of such a treatment a therapeutically effective amount of a composition according to claim 13.
- 25. The method according to claim 24, wherein said GSK-3-mediated disease is selected from diabetes, Alzheimer's disease, Huntington's Disease, Parkinson's Disease, AIDS-associated dementia, amyotrophic lateral sclerosis (AML), multiple sclerosis (MS), schizophrenia, cardiomycete hypertrophy, reperfusion/ischemia, or baldness.

- 26. The method according to claim 25, wherein said GSK-3-mediated disease is diabetes.
- 27. A method of enhancing glycogen synthesis or lowering blood levels of glucose in a patient in need thereof, which method comprises administering to said patient a therapeutically effective amount of a composition according to claim 13.
- 28. A method of inhibiting the production of hyperphosphorylated Tau protein in a patient, which method comprises administering to a patient in need thereof a therapeutically effective amount of a composition according to claim 13.
- 29. A method of inhibiting the phosphorylation of  $\beta$ -catenin, which method comprises administering to a patient in need thereof a therapeutically effective amount of a composition according to claim 13.